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TITLE: Preparation and antiviral activity for HIV-1 of

substituted azaindoleoxoacetylpiperazines

INVENTOR(S): Wang, Tao; Zhang, Zhongxing; Meanwell, Nicholas A.;

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PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

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CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

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WO									WO 2002-US455										
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		GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE	E, K	G,	KP,	KR,	KZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	I, M	W,	MX,	MZ,	NO,	NZ,	PH,	PL,	
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EP	EP 1363705							EP 2002-707413											
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EE	EE 200300359									EE 2003-359						20020102			
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JP	JP 2004522755																		
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BG 108021									BG 2003-108021										
ZA	ZA 2003005885					A 20041101													
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OTHER SOURCE(S):				MARI	PAT	137:169502							-		_				

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AB

Title compds. Q(CO)nWCOA [Q = (un)substituted azaindoly1; W = (un)substituted piperazino; A = (un)substituted alkoxy, aryl, heteroaryl;

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n = 1, 2] were prepared for use as antiviral agents, alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry inhibitors, in the treatment of HIV and AIDS. Thus, 2-chloro-3-nitropyridine was cyclized with vinylmagnesium bromide to give 7-chloro-6-azaindole which was treated with ClCOCO2Me, followed by ester hydrolysis, amidation with (R)-3-methyl-1-benzoylpiperazine, and substitution with 4-FC6H4B(OH)2 to give the title compound I which had an EC50 for HIV-1 in vitro of <1 μM .

IT 446289-04-9P 446290-82-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and antiviral activity for HIV-1 of substituted azaindoleoxoacetylpiperazines)

RN 446289-04-9 CAPLUS

CN Piperazine, 4-benzoyl-1-[[5-chloro-7-(5-oxazolyl)-1H-pyrrolo[3,2-b]pyridin-3-yl]oxoacetyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 446290-82-0 CAPLUS

CN Piperazine, 4-benzoyl-2-methyl-1-[oxo[7-(2-thienylcarbonyl)-1H-pyrrolo[3,2-b]pyridin-3-yl]acetyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT